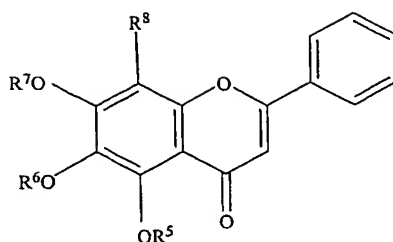


Claims:

1. A compound according to the formula:



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where R^5 is H, an optionally substituted phenyl or benzyl group, an acyl group, a C_1 — C_{20} alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

R^6 and R^7 are each independently H, (C_1-C_{12}) alkyl, (C_2-C_{13}) acyl, an optionally substituted phenyl or benzyl or together form a $-OCR^1R^2O-$ group wherein each of R^1 and R^2 is independently H, a C_1-C_3 alkyl group or an optionally substituted phenyl or benzyl group; and

R^8 is H, OH, an O-acyl group, a C_1-C_4 alkyl or alkoxy group, F, Cl, Br or I, with the proviso that R^5 , R^6 , R^7 and R^8 are not all H.

15

2. The compound according to claim 1 wherein R^8 is H or Br.

3. The compound according to claim 1 or 2 wherein R^8 is H.

4. The compound according to claims 1-3 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1-C_8 alkyl, unsubstituted phenyl or benzyl, or a C_2-C_5 acyl group.

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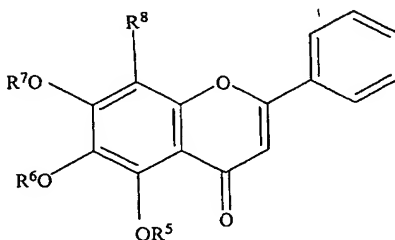
5. The compound according to claims 1-3 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1-C_3 alkyl or an acyl group.

25

6. The compound according to claims 1-3 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1-C_3 alkyl or a C_2 acyl group.

7. The compound according to any of claims 1-6 wherein R^5 , R^6 and R^7 are independently selected from OH or C_1 - C_3 alkyl.

8. A pharmaceutical composition comprising a compound according to the formula:



where R^5 is H, an optionally substituted phenyl or benzyl group, an acyl group, a C_1 - C_{20} alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

R^6 and R^7 are each independently H, (C_1-C_{12}) alkyl, (C_2-C_{13}) acyl, or an optionally substituted phenyl or benzyl or together form a $-OCR^1R^2O-$ group wherein each of R^1 and R^2 is independently H, a C_1 - C_3 alkyl group or an optionally substituted phenyl or benzyl group; and

R^8 is H, OH, an O-acyl group, a C_1 - C_4 alkyl or alkoxy group, F, Cl, Br or I, with the proviso that R^5 , R^6 , R^7 and R^8 are not all H, in combination with a pharmaceutically acceptable carrier, additive or excipient.

9. The composition according to claim 8 wherein R^8 is H or Br.

10. The composition according to claim 8 or 9 wherein R^8 is H.

11. The composition according to claim 8-10 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_8 alkyl, unsubstituted phenyl or benzyl, or a C_2 - C_5 acyl group.

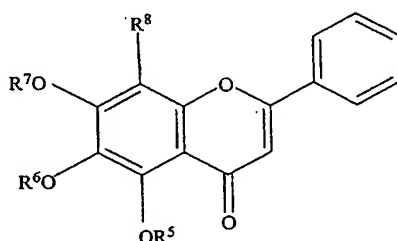
12. The composition according to claim 8-10 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or an acyl group.

13. The composition according to claim 8-10 or 12 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or a C_2 acyl group.

14. The composition according to claim 8-13 wherein R^5 , R^6 and R^7 are
5 independently selected from OH or C_1 - C_3 alkyl.

15. A method of inhibiting P-gp 170 or CYP450 in a patient comprising administering to said patient an effective amount of a compound according to the formula:

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where R^5 is H, an optionally substituted phenyl or benzyl group, an acyl group, a C_1 - C_{20} alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

15 R^6 and R^7 are each independently H, (C_1-C_{12}) alkyl, (C_2-C_{13}) acyl, or an optionally substituted phenyl or benzyl or together form a $-OCR^1R^2O-$ group wherein each of R^1 and R^2 is independently H, a C_1 - C_3 alkyl group or an optionally substituted phenyl or benzyl group; and

R^8 is H, OH, an O-acyl group, a C_1 - C_4 alkyl or alkoxy group, F, Cl, Br or I.

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16. The method according to claim 15 wherein R^8 is H or Br.

17. The method according to claim 15 or 16 wherein R^8 is H.

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18. The method according to claim 15-17 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_8 alkyl, unsubstituted phenyl or benzyl, or a C_2 - C_5 acyl group.

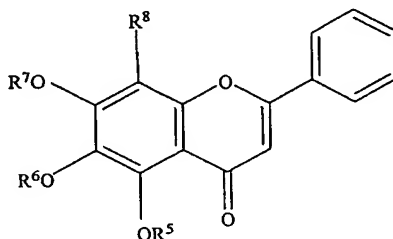
19. The method according to claim 15-17 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or an acyl group.

20. The method according to claim 15-19 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or a C_2 acyl group.

5 21. The method according to claim 15-20 wherein R^5 , R^6 and R^7 are independently selected from OH or C_1 - C_3 alkyl.

22. A method of treating cancer in a patient in need thereof, said method comprising co-administering an effective amount of at least one compound according to the formula:

10



where R^5 is H, an optionally substituted phenyl or benzyl group, an acyl group, a C_1 - C_{20} alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

15 R^6 and R^7 are each independently H, (C_1-C_{12}) alkyl, (C_2-C_{13}) acyl, or an optionally substituted phenyl or benzyl or together form a $-OCR^1R^2O-$ group wherein each of R^1 and R^2 is independently H, a C_1 - C_3 alkyl group or an optionally substituted phenyl or benzyl group; and

20 R^8 is H, OH, an O-acyl group, a C_1 - C_4 alkyl or alkoxy group, F, Cl, Br or I in combination with an anti-cancer agent.

23. The method according to claim 22 wherein said anti-cancer agent is selected from the group consisting of antimetabolites, Ara C, etoposide, doxorubicin, daunorubicin, mitoxantrone, idarubicin, vinblastine, vincristine, taxol, hydroxyurea, colchicine, etoposide, tenoposide, actinomycin D, puromycin, valinomycin, mithramycin, gramicidin D, emetine, rhodamine 123, cytoxan, DiOC2, Hoechst 33342, mitomycin C, adriamycin, topotecan, camptothecin, irinotecan, gemcitabine, cis-platin and mixtures, thereof

24. The method according to claim 22 wherein R^8 is H or Br.

25. The method according to claim 22-24 wherein R^8 is H.

5 26. The method according to claim 22-25 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_8 alkyl, unsubstituted phenyl or benzyl, or a C_2 - C_5 acyl group.

27. The method according to claim 22-25 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or an acyl group.

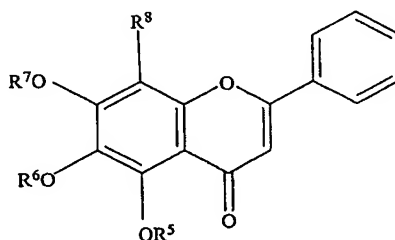
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28. The method according to claim 22-27 wherein R^5 , R^6 and R^7 are independently selected from OH, C_1 - C_3 alkyl or a C_2 acyl group.

29. The method according to claim 22-28 wherein R^5 , R^6 and R^7 are independently
15 selected from OH or C_1 - C_3 alkyl.

30. A method of increasing the sensitivity of tumor or cancer cells in a patient to anti-cancer agents comprising administering to said patient an effective amount of a compound according to the formula:

20



where R^5 is H, an optionally substituted phenyl or benzyl group, an acyl group, a C_1 - C_{20} alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

25 R^6 and R^7 are each independently H, (C_1-C_{12}) alkyl, (C_2-C_{13}) acyl, or an optionally substituted phenyl or benzyl or together form a $-OCR^1R^2O-$ group wherein each of R^1 and R^2 is independently H, a C_1 - C_3 alkyl group or an optionally substituted phenyl or benzyl group; and

R⁸ is H, OH, an O-acyl group, a C₁-C₄ alkyl or alkoxy group, F, Cl, Br or I, or a pharmaceutically acceptable salt thereof.

31. The method according to claim 30 wherein said anti-cancer agent is selected from the group consisting of antimetabolites, Ara C, etoposide, doxorubicin, daunorubicin, mitoxantrone, idarubicin, vinblastine, vincristine, taxol, hydroxyurea, colchicine, etoposide, tenoposide, actinomycin D, puromycin, valinomycin, mithramycin, gramicidin D, emetine, rhodamine 123, cytoxan, DiOC2, Hoechst 33342, mitomycin C, adriamycin, topotecan, camptothecin, irinotecan, gemcitabine, cis-platin and mixtures, thereof

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32. The method according to claim 30 or 31 wherein R⁸ is H or Br.

33. The method according to claim 30-32 wherein R⁸ is H.

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34. The method according to claim 30-33 wherein R⁵, R⁶ and R⁷ are independently selected from OH, C₁-C₈ alkyl, unsubstituted phenyl or benzyl, or a C₂-C₅ acyl group.

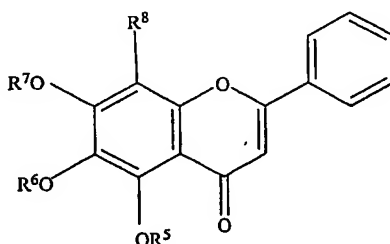
35. The method according to claim 30-34 wherein R⁵, R⁶ and R⁷ are independently selected from OH, C₁-C₃ alkyl or a C₂ acyl group.

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36. The method according to claim 35 wherein R⁵, R⁶ and R⁷ are independently selected from OH or C₁-C₃ alkyl.

37. A method of facilitating or enhancing the bioavailability of another bioactive agent or drug, said method comprising co-administering with the said bioactive agent or drug an effective amount of at least one bioavailability enhancing agent according to the formula:

25



where R⁵ is H, an optionally substituted phenyl or benzyl group, an acyl group, a C₁—C₂₀ alkyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group;

R⁶ and R⁷ are each independently H, (C₁–C₁₂)alkyl, (C₂–C₁₃)acyl, or an optionally substituted phenyl or benzyl or together form a –OCR¹R²O– group wherein each of R¹ and R² is independently H, a C₁–C₃ alkyl group or an optionally substituted phenyl or benzyl group; and

R⁸ is H, OH, an O-acyl group, a C₁–C₄ alkyl or alkoxy group, F, Cl, Br or I, or a pharmaceutically acceptable salt thereof or mixtures thereof, in combination with at least one additional bioactive agent.

38. The method according to claim 37 wherein R⁸ is H or Br.

39. The method according to claim 37 or 38 wherein R⁸ is H.

40. The method according to claim 37-39 wherein R⁵, R⁶ and R⁷ are independently selected from OH, C₁–C₈ alkyl, unsubstituted phenyl or benzyl, or a C₂–C₅ acyl group.

41. The method according to claim 37-40 wherein R⁵, R⁶ and R⁷ are independently selected from OH, C₁–C₃ alkyl or an acyl group.

42. The method according to claim 37-41 wherein R⁵, R⁶ and R⁷ are independently selected from OH, C₁–C₃ alkyl or a C₂ acyl group.

43. The method according to claim 37-42 wherein R⁵, R⁶ and R⁷ are independently selected from OH or C₁–C₃ alkyl.

44. The method of claim 37-43 wherein said bioactive agent or drug acts on the central nervous system.

45. The method of claim 37-44 wherein said bioactive agent acts on the brain.

46. The method of claim 37-45 wherein said bioactive agent attains a level which is at least twice the level attained in the absence of said enhancing agent.

47. The method according to claim 37-46 wherein said bioactive agent is an antitumor or anticancer agent.

5 48. The method according to claim 37-43 or 46 wherein said bioactive agent or drug is selected from the group consisting of anesthetics, systemic antibiotics, antiparasitics, systemic quinolones, anti-infectives, anti-inflammatories, aminoglycosides, cephalosporins, penicillins, antidotes, anti-cholinesterases, metal poisoning antidotes, anticancer agents, cytotoxic agents, hormones, steroids, immunomodulators, cytokines, systemic antivirals, 10 systemic antifungals, biologicals, alpha-antitrypsin, bone metabolism regulators, hypercalcemic agent, cardiovascular agents, beta blockers, cerebral vasodilators, cerebral metabolic enhancers, cholinesterase inhibitors, vasopressors, local diabetic agents, diagnostics, adenosine deaminase deficiency agents, gonadotropin inhibitors, adrenal cortical steroid inhibitors, gonadotropin releasing hormone stimulant, urofollitropins, muscle 15 relaxants such as neuromuscular blocking agents, prostaglandin analogs, prostaglandins, prostaglandin inhibitors, respiratory therapy agents, anticholinergics, beta adrenergic stimulators, sympathomimetics, and thrombolytics, antithrobotics, anticoagulants, antibiotics antiplatelet agents, thrombolytics, antiproliferatives, steroidal and nonsteroidal antiinflammatories, agents that inhibit hyperplasia, smooth muscle cell inhibitors, growth 20 factors, growth factor inhibitors, cell adhesion inhibitors, cell adhesion promoters, endothelial cell regeneration agents, antiinflammatory drugs, antibacterials, antiprotazoals, antifungals, coronary vasodilators, calcium channel blockers, bronchodilators, enzyme inhibitors, antihypertensives, anti-ulceratives, steroidal hormones, antivirals, immunomodulators, local anesthetics, cardiotonics, antitussives, antihistamines, narcotic analgesics, peptide hormones, 25 cardioactive products, enzymes, antinauseants, anticonvulsants, immunosuppressives, psychotherapeutics, sedatives, hypnotics, anticoagulants, analgesics, antimigraine agents, antiarrhythmic agents, antiemetics, neurologic agents, hemostatics, anti-obesity agents, antigout agents, antianxiety agents, immunosuppressive agents, hyperlipidemic agents, antiparkinson agents, antifungal agents, antimanic agents, antipyretics, antiarthritic agents, 30 antiplatelet agents, anticonvulsants, antidiabetic agents, anticoagulants, antiarrhythmics, antianginal agents, or mixtures thereof.

49. Use of a compound according to any of claims 1-7 for the manufacture of a medicament useful for the treatment of cancer.

50. Use of a compound according to any of claims 1-7 for the manufacture of a medicament useful for inhibiting P-gp 170 or CYP450 in a patient.

5 51. Use of a compound according to any of claims 1-7 for the manufacture of a medicament useful for facilitating or enhancing the bioavailability of another bioactive agent or drug.

10 52. Use of a compound according to any of claims 1-7 for the manufacture of a medicament useful for increasing the sensitivity of tumor or cancer cells in a patient to anti-cancer agents.

53. Use of a compound according to any of claims 1-7 for the manufacture of a medicament useful for the treatment of cancer with the coadministration of a second anti-cancer agent.